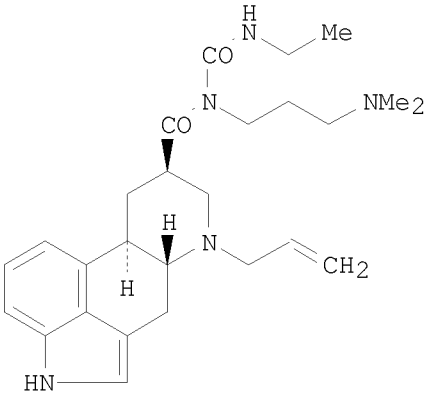
=> d ibib abs hitstr 1-3
THE ESTIMATED COST FOR THIS REQUEST IS 17.43 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L7 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:1359036 CAPLUS
DOCUMENT NUMBER: 149:556830
TITLE: A new and efficient process for the preparation of cabergoline and its intermediates
INVENTOR(S): Li, Yuanqiang; Wang, Zhi-Xian; Kondamreddy, Murali; Cai, Xiongwei
PATENT ASSIGNEE(S): Apotex Pharmachem Inc., Can.
SOURCE: Can. Pat. Appl., 35pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| CA 2587880 | A1 | 20081104 | CA 2007-2587880 | 20070504 |

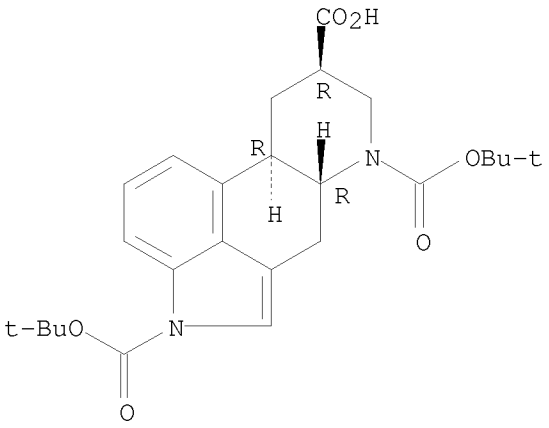
PRIORITY APPLN. INFO.: CA 2007-2587880 20070504
OTHER SOURCE(S): CASREACT 149:556830; MARPAT 149:556830
GI



I

AB This invention relates to a new and efficient process for the production of dopamine agonists such as cabergoline (I) and the intermediates thereof.
IT 1075250-76-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for the preparation of cabergoline, an ergoline alkaloid with therapeutic usefulness as a dopamine receptor agonist)
RN 1075250-76-8 CAPLUS
CN Ergoline-1,6,8-tricarboxylic acid, 1,6-bis(1,1-dimethylethyl) ester, (8β)- (CA INDEX NAME)

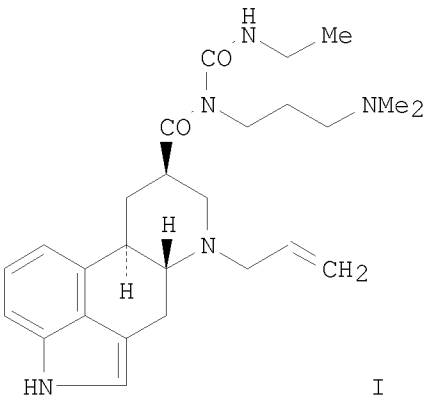
Absolute stereochemistry.



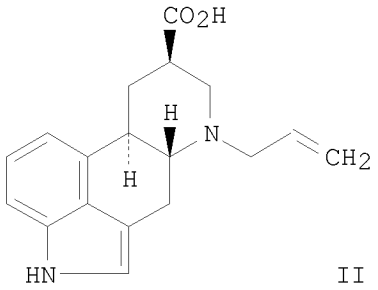
L7 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:1339686 CAPLUS
DOCUMENT NUMBER: 149:534450
TITLE: New and efficient process for the preparation of cabergoline and its intermediates
INVENTOR(S): Wang, Zhi-Xian; Li, Yuanqiang; Kondamreddy, Murali; Cai, Xiongwei
PATENT ASSIGNEE(S): Apotex Pharmachem Inc., Can.
SOURCE: U.S. Pat. Appl. Publ., 19pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 20080275240 | A1 | 20081106 | US 2007-797510 | 20070504 |

PRIORITY APPLN. INFO.: US 2007-797510 20070504
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 149:534450; MARPAT 149:534450
GI



I



II

AB A process was disclosed for the production of dopamine agonists, such as the

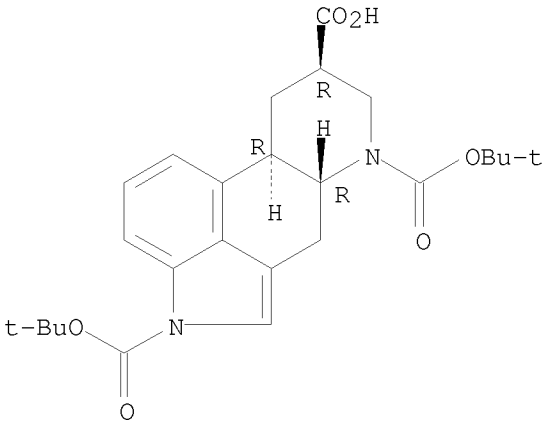
ergoline alkaloid cabergoline (I), and the intermediates thereof. Thus, cabergoline was prepared via a multistep synthetic sequence that started from lysergol and concluded with an amidation reaction with 54.7% yield of acid II with 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride using Et3N in CH2Cl2 at rt for 20 h.

IT 1075250-76-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for preparation of cabergoline, a therapeutically useful dopamine agonist and prolactin inhibitor)

RN 1075250-76-8 CAPLUS

CN Ergoline-1,6,8-tricarboxylic acid, 1,6-bis(1,1-dimethylethyl) ester, (8β)- (CA INDEX NAME)

Absolute stereochemistry.




L7 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1004742 CAPLUS

DOCUMENT NUMBER: 143:286587

TITLE: Novel process for production of cabergoline

INVENTOR(S): Galambos, Janos; Czibula, Laszlo; Sebok, Ferenc; Balint, Sandorne; Kassai, Ferencne; Ignaczne Szendrei, Gyoergyi; Demeter, Adam

PATENT ASSIGNEE(S): Richter Gedeon Vegyeszeti Gyar Rt., Hung.

SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005085243 | A2 | 20050915 | WO 2005-HU22 | 20050302 |
| WO 2005085243 | A3 | 20060406 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|---|---|---|---|---|
| HU 2004000517 | A2 | 20051128 | HU 2004-517 | 20040304 |
| HU 2004000517 | A3 | 20060529 | | |
| EP 1720869 | A2 | 20061115 | EP 2005-718154 | 20050302 |
| EP 1720869 | B1 | 20100526 | | |

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR

| | | | | |
|---|---|---|---|---|
| AT 469149 | T | 20100615 | AT 2005-718154 | 20050302 |
| IN 2006KN02135 | A | 20070518 | IN 2006-KN2135 | 20060728 |
| US 20070293677 | A1 | 20071220 | US 2007-591202 | 20070613 |

PRIORITY APPLN. INFO.: HU 2004-517 A 20040304
WO 2005-HU22 W 20050302

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:286587; MARPAT 143:286587
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

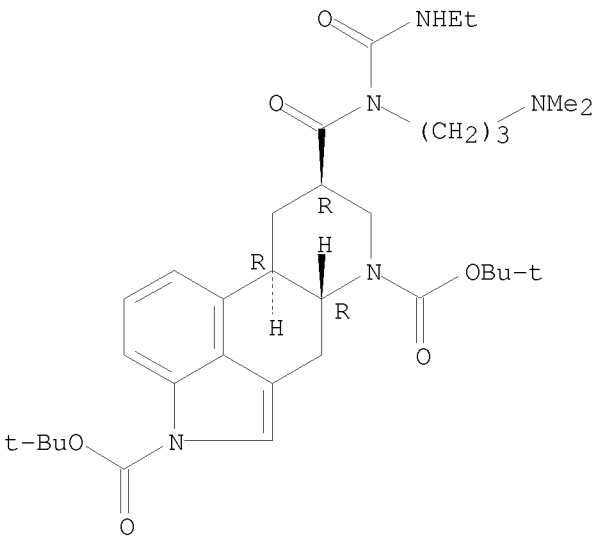
AB A process for preparing cabergoline (I) from ergoline-8β-carboxylic acid ester (II; R= H; R1 = C1-4 alkyl) comprises the following steps: (a) reacting an ergoline-8β-carboxylic acid ester II (R = H), in the presence of a catalyst (i) with a compound X-COOR2 [R2 = (un)substituted straight or branched C1-6 alkyl, X = Br, Cl], or (ii) with a compound O(COOR2)2; (b) reacting the obtained carbamate derivative II (R = CO2R2) with 3-(dimethylamino)propylamine (DMAPA) in the presence of a catalyst; (c) reacting the obtained ergoline-8β-carboxamide derivative III (R = CO2R2) with Et isocyanate (EtNCO) in the presence of ligand(s) and Ib and IIb metal group salt catalysts; (d) reacting the obtained protected N-acylurea derivative IV (R = CO2R2) with a strong aqueous inorg. acid; (e) reacting the obtained secondary amine V with an electrophilic allyl alc. derivative in the presence of a palladium or nickel containing catalyst and optionally in the presence of ligand(s) to form I. The intermediates of II (R = CO2R2), III (R = CO2R2), IV (R = CO2R2) and V are novel. The polymorphic amorphous form of I and the production thereof.

IT 864366-98-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and N-dealkoxycarbonylation of; novel process for production of cabergoline polymorphs)

RN 864366-98-3 CAPLUS

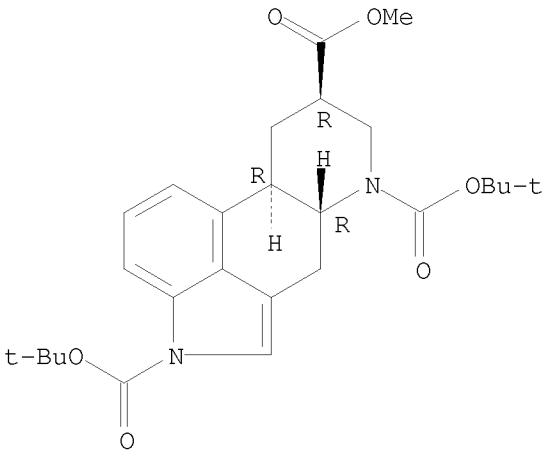
CN Ergoline-1,6-dicarboxylic acid, 8-[[[3-(dimethylamino)propyl][(ethylamino)carbonyl]amino]carbonyl]-, bis(1,1-dimethylethyl) ester, (8β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 864447-98-3P, 1,6-Di(tert-butoxycarbonyl)ergoline-8β-carboxylic acid methyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and amidation of, with (dimethylamino)propylamine; novel process for production of cabergoline polymorphs)
RN 864447-98-3 CAPLUS
CN Ergoline-1,6,8-tricarboxylic acid, 1,6-bis(1,1-dimethylethyl) 8-methyl ester, (8β)- (9CI) (CA INDEX NAME)

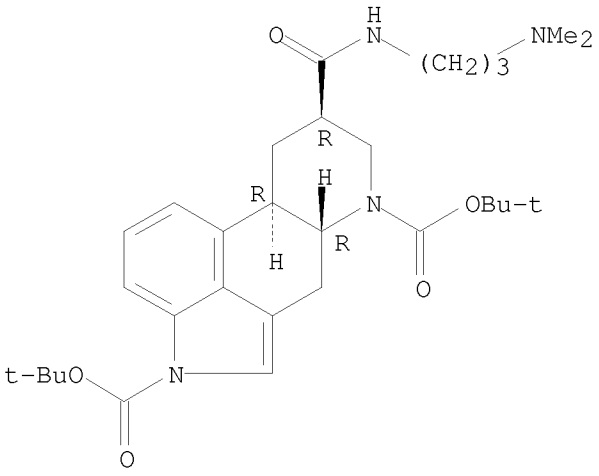
Absolute stereochemistry.



IT 864366-97-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and carbamylation of, with Et isocyanate; novel process for production of cabergoline polymorphs)
RN 864366-97-2 CAPLUS
CN Ergoline-1,6-dicarboxylic acid, 8-[[[3-(dimethylamino)propyl]amino]carbonyl]-, bis(1,1-dimethylethyl) ester,

(8β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d re 1-3

L7 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN

L7 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN

L7 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2010 ACS on STN
RE CITED REFERENCES
(1) Anon; WO 02085902 A1 CAPLUS
(2) Anon; US 20020177709 A1
(3) Anon; US 5382669 A CAPLUS

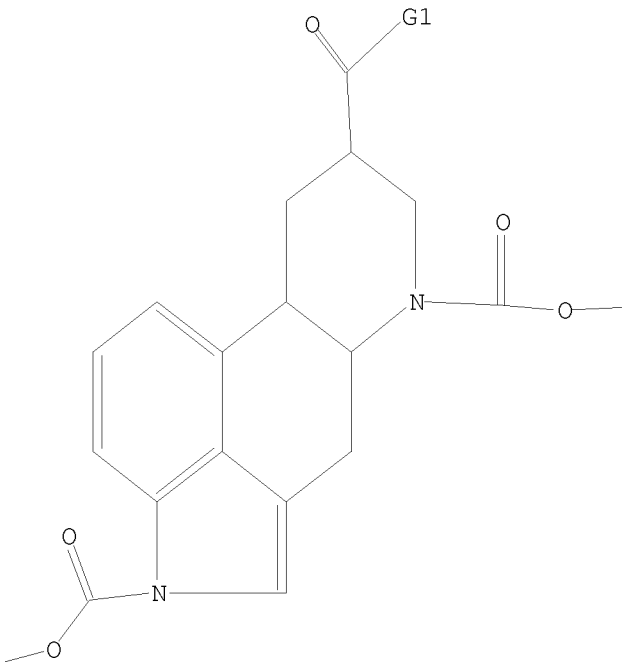
=> d his

(FILE 'HOME' ENTERED AT 14:07:20 ON 24 SEP 2010)

FILE 'REGISTRY' ENTERED AT 14:07:36 ON 24 SEP 2010
L1 STRUCTURE UPLOADED
L2 0 S L1
L3 4 S L1 FULL

FILE 'CAPLUS' ENTERED AT 14:10:19 ON 24 SEP 2010
L4 3 S L3
L5 735 S CABERGOLINE
L6 3 S L4 AND L5
L7 3 S L4 OR L6

=> d l1
L1 HAS NO ANSWERS
L1 STR




G1 O,N

Structure attributes must be viewed using STN Express query preparation.

=>